ABSTRACT

The present invention has as its object providing substituted phenethylamine derivatives that function as a motilin receptor antagonist and which are useful as medicines.

The invention provides compounds of Formula (1):

$$\begin{array}{c|c} Cy & R_{6} & R_{12} \\ R_{7} & X & N & R_{10} \\ R_{9} & R_{10} & R_{11} \end{array}$$
 (1)

wherein:

Cy is a group of Formula (2):

$$\begin{array}{c}
R_2 \\
R_3 \\
R_4
\end{array}$$

$$\begin{array}{c}
R_1 \\
R_5
\end{array}$$

$$(2)$$

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an optionally substituted heterocyclic ring, C_{3-7} cycloalkyl or phenyl;

 R_1 , R_2 , R_3 , R_4 and R_5 are hydrogen, halogen, hydroxy, amino, trifluoromethyl or nitrile and at least one of R_1 , R_2 , R_3 , R_4 and R_5 is halogen, trifluoromethyl or nitrile;

 R_6 is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, amino or hydroxy;

 R_7 is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, optionally substituted amino or hydroxy;

R₈ is hydrogen, methyl or ethyl;

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 R_9 is optionally substituted straight-chained or branched C_{1-6} alkyl, optionally substituted straight-chained or branched C_{2-6} alkenyl, optionally substituted straight-chained or branched C_{2-6} alkynyl, C_{3-7} cycloalkyl or optionally substituted phenyl;

 R_{20} is hydrogen or straight-chained or branched $C_{1\text{-}}$ $_3alkyl$ or R_9 and R_{20} may together form $C_{3\text{--}7}cycloalkyl;$

 R_{10} is hydrogen or straight-chained or branched $C_{\text{1-}}$ $_{3}\text{alkyl;}$

 R_{11} is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, -CO-N(R_{14}) R_{15} , carboxyl or an optionally substituted heterocyclic ring;

 R_{12} is hydroxy or $-OR_{16}$;

 R_{13} is hydrogen, straight-chained or branched C_{1-} 15 ₆alkyl, straight-chained or branched C_{2-6} alkenyl, straight-chained or branched C_{2-6} alkynyl or a group of Formula (3):

$$R_{17}$$
 R_{18}
 R_{19}

R₁₄ and R₁₅, which may be the same or different, are hydrogen, optionally substituted straight-chained or branched C₁₋₄alkyl, C₃₋₇cycloalkyl, straight-chained or branched C₁₋₄alkyloxy, straight-chained or branched C₁₋₄alkylsulfonyl or a heterocyclic ring, or R₁₄ and R₁₅, as -N(R₁₄)R₁₅, form optionally substituted 3- to 7-membered cyclic amine;

25 R_{16} is straight-chained C_{1-4} alkyl; R_{17} is hydrogen or methyl;

 R_{18} and R_{19} together form cycloalkyl or $\label{eq:c3-7} C_{3-7} cycloalkenyl;$

X is carbonyl or methylene;

Y is carbonyl or methylene;

5 provided that

when Cy is 3-indoly1,

(i) $\ensuremath{R_{\text{11}}}$ is an optionally substituted heterocyclic ring; or

(ii) R₆ is hydrogen. R, is amino, R₈ is methyl,

10 R, is isopropyl, R_{20} is hydrogen, R_{10} is methyl, R_{11} is carbamoyl, R_{12} is hydroxy, R_{13} is tert-butyl, X is carbonyl and Y is carbonyl, and

when Cy is cyclohexyl or phenyl, R_{11} is an optionally substituted heterocyclic ring;

or a hydrate or pharmaceutically acceptable salt thereof.